## (83)

## XP-002265001

AN - 1995-212873 [39]

AP - JP19930308500 19931104

CPY - OHTA-N

DC - A96 B07

DR - 0002-U 0004-U 0011-U 0012-U 0402-U 1071-U 1629-U 1852-U 1858-U 1863-U 2039-U

FS - CPI

IC - A61K9/14; A61K31/57

MC - A12-V01 B04-B04L B04-C02A1 B04-C02A3 B04-C02B B04-C03B B04-J01 B10-A07 B12-M10B

M1 - [02] M423 M431 M782 M903 M904 M910 R051 V0 V711; R01852-M; 1852-U

- [03] M423 M431 M782 M903 M904 M910 R051 V0 V723; R01863-M; 1863-U

- [05] G011 G100 H401 H481 H5 H521 H8 J0 J011 J012 J1 J131 J171 J221 M123 M136 M210 M211 M212 M262 M272 M280 M281 M311 M312 M313 M320 M321 M331 M332 M342 M382 M383 M391 M423 M431 M510 M520 M531 M540 M782 M903 M904 R051 V712 V713; R16918-M R16919-M R16922-M
- [14] H5 H521 H8 M210 M212 M272 M281 M320 M423 M431 M782 M903 M904 M910 R051 V0 V713; R01858-M; 1858-U
- [01] M423 M431 M782 M903 R051 V794
- [07] H7 H721 J0 J011 J1 J171 M210 M213 M232 M262 M281 M320 M423 M431 M510 M520 M530 M540 M782 M903 M904 M910 R051 V0 V742; R00460-M R00460-Q; 2039-U
- M5 [04] M431 M782 M903 M904 M910 R051 S001 S004 S030 S132 S133 S134 S142 S209 S217 S311 S316 S317 S511 S517 S521 S603 S620 T209 T231 T816 U016 U030 U520; R01629-M; 1629-U
  - [06] M431 M782 M903 M904 R051 S001 S004 S030 S132 S133 S134 S142 S206 S209 S216 S217 S311 S316 S511 S517 S603 S620 S717 S721 S730 S735 S736 T116 T117 T136 T141 T206 T209 T230 T231 T816 U016 U030 U520; R06390-M R16077-M R20679-M; 9528-25601-M
  - [08] M431 M782 M903 M904 M910 R051 S004 S132 S133 S134 S142 S217 S317 S517 S603 S611 S620 S721 S730 S735 S736 U520; R00004-M; 0004-U
  - [09] M431 M782 M903 M904 M910 R051 S004 S132 S133 S134 S142 S217 S311 S317 S511 S517 S521 S603 S620 U520; R00011-M; 0011-U
  - [10] M431 M782 M903 M904 M910 R051 S001 S004 S030 S132 S133 S134 S142 S209 S216 S217 S311 S317 S511 S521 S603 S620 T116 T117 T136 T141 T209 T230 U520; 05595; R00402-M; 0402-U
  - [11] M431 M782 M903 M904 M910 R051 S001 S004 S030 S132 S133 S134 S142 S209 S216 S217 S311 S317 S511 S517 S521 S603 S620 T209 T230 T816 U016 U030 U520; R00002-M; 0002-U
  - [12] M431 M782 M903 M904 M910 R051 S001 S004 S030 S132 S133 S134 S142 S209 S216 S217 S311 S317 S511 S516 S517 S521 S603 S620 T209 T230 U520; R01071-M; 1071-U
  - [13] M431 M782 M903 M904 M910 R051 S001 S004 S030 S132 S133 S134 S142 S217 S311 S317 S511 S517 S521 S603 S620 U520; R00012-M; 0012-U
- M6 [15] M903 Q140 R051 R111 R120 R280 R307
- PA (OHTA-N) OHTA SEIYAKU KK
- PN JP7126153 A 19950516 DW199528 A61K9/14 007pp
- PR JP19930308500 19931104

DOCID: <XP\_\_2265001A\_1\_> 75-098293

comprises a carrier as a core whose granular surface is coated with: (a) a mixt. of noncrystal drug substance and macromolecular substance which is insol. in stomach (b) water insoluble and semipermeable macromolecular substance on a layer of (a); and (c) macromolecular substance which is insol. in a stomach on a layer of (b).

- Materials for core are white sugar, crystal cellulose, starch and milk sugar. Examples of macromolecular substance which is insol. in a stomach are mechacrylate copolymer-S, -L, hydroxypropylmethyl cellulose acetate succinate, hydroxypropylmethylcellulose phthalate, and carboxymethylethyl cellulose. Examples of drug substance are corticosteroid such as declometasone dipropionate, beclometasone, cortisone acetate, fludrocortisone acetate, hydrocortisone, triamcinolone acetate, paramethasone acetate, dexamethasone, triamcinolone, prednisolone, amcinonide, etc.. The ratio of drug substance and macromolecular which is insoluble in a stomach is 1:3-1:2-. Examples of water insoluble and semipermeable macromolecular substance are aminoalkyl methacrylate copolymer RS and ethyl cellulose. The amt. of the macromolecule to the above mentioned membrane particles is less than 5 wt.%.. The diameter of the partricles substance released in large intestine is pref. 0.1-2.0 mm.
- USE The drugs are delivered to large intestine without failure and released there efficiently. The usages of water-sparsely soluble drugs are greatly increased in number.
- In an example, Mathacrylate copolymer is (Eudragid s-100) (30.0 g) was dissolved in a mixt. of dichloromethane (1250 ml) and ethanol (1250 ml), and becromethaxone dipropionate (3.0 g) was dissolved in the above mixt. to give the soln., which was coated on the carrier core substance (Nonpareil 103) (1500.2 g) and the obtained particle substance was dried at 50 deg. C for 15 mins.. Ethyl cellulose (17.5 g) was dissolved in a mixt. of ethanol (500 ml) and purified water (77.5 ml) to give the soln., which was sprayed on the above obtained particles, followed by drying at 50 deg. C for 30 mins.. Methacrylate copolymers (Eudrageid s-100) (115.0 g) was dissolved in a mixt. of dichloromethane (970 ml) in which triethyl citrate (11.6 g) and talc (34.8 g) were dissolved or suspended and ethanol (1400 ml) to give the soln. which was further sprayed on the above obtained particles, followed by drying at 50 deg. C for 30 mins. and by filtering to give 1436 g of medical particles whose diameter was 425-850 micrometer.(Dwg.0/2)
- CN R01852-M R01863-M R01629-M R16918-M R16919-M R16922-M R06390-M R16077-M R20679-M 9528-25601-M R00004-M R00011-M R00402-M R00002-M R01071-M R00012-M R01858-M R00460-M R00460-Q
- DRL 1852-U 1863-U 1629-U 0004-U 0011-U 0402-U 0002-U 1071-U 0012-U 1858-U 2039-U
- IW NEW PARTICLE SUBSTANCE RELEASE COMPRISE CARRY COATING MIXTURE NON CRYSTAL DRUG SUBSTANCE MACROMOLECULAR SUBSTANCE WATER INSOLUBLE SEMIPERMEABLE MACROMOLECULAR SUBSTANCE MACROMOLECULAR SUBSTANCE

IKW - NEW PARTICLE SUBSTANCE RELEASE COMPRISE CARRY COATING MIXTURE NON CRYSTAL DRUG SUBSTANCE MACROMOLECULAR SUBSTANCE WATER INSOLUBLE SDOCID: <XP 2265001A . I >

ORD - 1995-05-16 PAW - (OHTA-N) OHTA SEIYAKU KK RRL - 05595

- TI New particle substance released in large intenstine comprises carrier coated with mixt. of non-crystal drug substance and macromolecular substances, water-insol. semipermeable macromolecular substance, and macromolecular substance
- A01 [001] 017; G0384-R G0339 G0260 G0022 D01 D12 D10 D51 D53 D58 D63 F41; H0011-R; P0088;
  - [002] 017; G3690 G3634 G3623 P0599 D01 D03 D11 D23 D42 D63 F24 F34 H0293 D10 D22 D50 F27 F26 F41;
  - [003] 017; G3690 G3634 G3623 P0599 D01 D03 D11 D23 D42 D63 F24 F34 H0293 D10 D19 D18 D22 D50 F27 F26 F41 E19 E00;
  - [004] 017; R01835 G3678 G3634 D01 D03 D11 D10 D23 D22 D31 D42 D50 D60 D92 F24 F34 F38 F35 H0293 P0599 G3623;
  - [005] 017; ND01; Q9999 Q8037 Q7987; Q9999 Q7250; B9999 B3452-R B3372; N9999 N6780-R N6655; N9999 N6804-R N6655; N9999 N7136 N7034 N7023; B9999 B5436 B5414 B5403 B5276;
- A02 [001] 017; R01858 G3678 G3634 D01 D03 D11 D10 D23 D22 D31 D42 D50 D92 F24 F34 H0293 P0599 G3623; S9999 S1456-R; S9999 S1627 S1605;
  - [002] 017; ND01; Q9999 Q8037 Q7987; Q9999 Q7250; B9999 B3452-R B3372; N9999 N6780-R N6655; N9999 N6804-R N6655; N9999 N7136 N7034 N7023; B9999 B5436 B5414 B5403 B5276;
  - [003] 017; R00245 D01 D11 D10 D50 D82 F27 F26; A999 A475;

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